

ESTER DERIVATIVES

Publication number: **WO0204402**Publication date: **2002-01-17**Inventor: **OGINO YOSHIO (JP); KURIHARA HIDEKI (JP); MATSUDA KENJI (JP); NUMAZAWA TOMOSHIGE (JP); OTAKE NORIKAZU (JP); NOGUCHI KAZUHITO (JP)**Applicant: **BANYU PHARMA CO LTD (JP); OGINO YOSHIO (JP); KURIHARA HIDEKI (JP); MATSUDA KENJI (JP); NUMAZAWA TOMOSHIGE (JP); OTAKE NORIKAZU (JP); NOGUCHI KAZUHITO (JP)**Classification:
- international: **A61P11/00; A61P11/06; A61P27/16; A61P43/00; C07C219/10; C07C219/14; C07D205/04; C07D207/08; C07D207/12; C07D209/52; C07D211/22; C07D211/42; C07D211/46; C07D211/70; C07D239/06; C07D451/02; C07D451/06; C07D471/08; C07D471/10; C07D487/10; C07D498/10; A61P11/00; A61P27/00; A61P43/00; C07C219/00; C07D205/00; C07D207/00; C07D209/00; C07D211/00; C07D239/00; C07D451/00; C07D471/00; C07D487/00; C07D498/00; (IPC1-7): C07C219/10; A61K31/222; A61K31/395; A61K31/397; A61K31/40; A61K31/403; A61K31/407; A61K31/435; A61K31/438; A61K31/439; A61K31/4409; A61K31/452; A61K31/4525; A61K31/5386; A61K31/55; A61P11/00; A61P11/06; A61P27/16; A61P43/00; C07C219/22; C07C219/24; C07C251/08; C07C251/18; C07D205/04; C07D207/08; C07D207/12; C07D209/52; C07D211/22; C07D211/46; C07D211/70; C07D221/24; C07D239/06; C07D295/125; C07D405/12; C07D451/02; C07D471/10; C07D487/10; C07D498/10**- european: **C07C219/10; C07C219/14; C07D205/04; C07D207/08A; C07D207/12; C07D209/52; C07D211/22; C07D211/42; C07D211/46; C07D211/70; C07D239/06B3; C07D239/06C; C07D451/02B; C07D451/06D; C07D471/08; C07D471/10; C07D487/10; C07D498/10**Application number: **WO2001JP05987 20010710**Priority number(s): **JP20000210591 20000711**

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Abstract of **WO0204402**

Compounds of the general formula (1), which exhibit selective muscarinic M3 receptor antagonism, little have side effects, and are suitable for administration by inhalation and useful as therapeutic agents for respiratory system diseases or the like: (1) wherein A is a group of the general formula (a0) or (b0): (a0) (b0) Ar is aryl or heteroaryl, any of which may be substituted; B<1> and B<2> are each an aliphatic hydrocarbon group; R<1> is fluorinated cycloalkyl; R<2>, R<3> and R<4> are each lower alkyl, or a single bond or alkylene, any of which is bonded to B<1>, or alternatively R<2> and R<3> may be united to form alkylene; R<5> and R<7> are each hydrogen, lower alkyl, or a single bond or alkylene, any of which is bonded to B<2>; R<6> is hydrogen, lower alkyl, or N(R<8>)R<9>; and X<-> is an anion.

Compounds of the general formula (1), which exhibit selective muscarinic M3 receptor antagonism, little have side effects, and are suitable for administration by inhalation and useful as therapeutic agents for respiratory system diseases or the like: (1) wherein A is a group of the general formula (a0) or (b0): (a0) (b0) Ar is aryl or heteroaryl, any of which may be substituted; B<1> and B<2> are each an aliphatic hydrocarbon group; R<1> is fluorinated cycloalkyl; R<2>, R<3> and R<4> are each lower alkyl, or a single bond or alkylene, any of which is bonded to B<1>, or alternatively R<2> and R<3> may be united to form alkylene; R<5> and R<7> are each hydrogen, lower alkyl, or a single bond or alkylene, any of which is

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bonded to B<2>; R<6> is hydrogen, lower alkyl, or N(R<8>)R<9>; and X<-> is an anion.

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(54) **ESTER DERIVATIVES**

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(57) **ABSTRACT**

This invention relates to compounds which exhibit selective muscarinic M₃ receptor antagonism, have little side effects, are suitable for inhalation therapy and are useful as treating agents of respiratory system diseases, of the general formula (I);



[in which A signifies a group expressed by a formula (a₀) or (b₀);



Ar signifies optionally substituted aryl or heteroaryl; B¹ and B² signify aliphatic hydrocarbon; R¹ signifies fluorine-substituted cycloalkyl; R², R³ and R⁴ signify lower alkyl, single bond or alkylene bonded to B¹, or R² and R³ are united to signify alkylene; R⁵ and R⁷ signify hydrogen, lower alkyl, or a single bond or alkylene bonded to B²; R⁶ signifies hydrogen, lower alkyl or a group expressed as —N(R⁸)R⁹; and X⁻ signifies an anion].

32 Claims, No Drawings